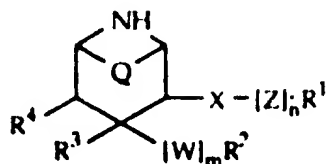


## Claims

1. A compound of the formula:



**wherein**

**R<sup>1</sup> is aryl or heterocyclyl;**

**R<sup>2</sup>** is phenyl, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxo-pyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl or furyl, which groups can be substituted by 1-3 halogen, hydroxy, cyano, trifluoromethyl, lower-alkyl, halo-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, cyano-lower-alkyl, carboxy-lower-alkyl, lower-alkanoyloxy-lower-alkyl, lower-alkoxycarbonyloxy-lower-alkyl, lower-alkoxycarbonyl, or lower-alkoxy groups, or by lower-alkylenedioxy, and/or by a group L<sup>1</sup>-T<sup>1</sup>-L<sup>2</sup>-T<sup>2</sup>-L<sup>3</sup>-T<sup>3</sup>-L<sup>4</sup>-T<sup>4</sup>-L<sup>5</sup>-U;

L<sup>1</sup>, L<sup>2</sup>, L<sup>3</sup>, L<sup>4</sup> and L<sup>5</sup> independently of one another are a bond, C<sub>1</sub>-8-alkylene, C<sub>2</sub>-8-alkenylene or C<sub>2</sub>-8-alkynylene or are absent;

$T^1, T^2, T^3$  and  $T^4$  independently of one another are

- (a) a bond or are absent or are one of the groups
- (b)  $-\text{CH}(\text{OH})-$
- (c)  $-\text{CH}(\text{OR}^6)-$
- (d)  $-\text{CH}(\text{NR}^5\text{R}^6)-$
- (e)  $-\text{CO}-$
- (f)  $-\text{CR}^7\text{R}^8-$
- (g)  $-\text{O}-$  or  $-\text{NR}^6-$

- (h)  $-S(O)_{0-2}-$
- (i)  $-SO_2NR^6-$
- (j)  $-NR^6SO_2-$
- (k)  $-CONR^6-$
- 5 (l)  $-NR^6CO-$
- (m)  $-O-CO-$
- (n)  $-CO-O-$
- (o)  $-O-CO-O-$
- (p)  $-O-CO-NR^6-$
- 10 (q)  $-NR^6-CO-NR^6-$
- (r)  $-NR^6-CO-O-$

and the bonds emanating from (b), (d), (e) and (g)-(r) join to a C atom of the adjacent group and this C atom is saturated when the bond emanates from a hetero atom, and not more than two groups (b)-(f),  
 15 three groups (g)-(h) and one group (i)-(r) are present;

$R^3$  is hydrogen, hydroxy, lower-alkoxy or lower-alkenyloxy; and

$R^4$  is hydrogen, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-  
 20 lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo or a group  
 $R^{4a}-Z^1-X^1-$  in which  $R^{4a}$  is

- (a) H-
- (b) lower-alkyl-
- (c) lower-alkenyl-
- 25 (d) hydroxy-lower-alkyl-
- (e) polyhydroxy-lower-alkyl-
- (f) lower-alkyl-O-lower-alkyl-
- (g) aryl-
- (h) heterocyclyl-
- 30 (i) arylalkyl-
- (j) heterocyclylalkyl-
- (k) aryloxyalkyl-
- (l) heterocycliloxyalkyl-
- (m)  $(R^5R^6)-N-(CH_2)_{1-3}-$

- (n) (R<sup>5</sup>R<sup>6</sup>)-N-
- (o) lower-alkyl-S(O)<sub>0-2</sub>-
- (p) aryl-S(O)<sub>0-2</sub>-
- (q) heterocyclyl-S(O)<sub>0-2</sub>-
- 5 (r) HO-SO<sub>3</sub>- or salt thereof
- (s) H<sub>2</sub>N-C(NH)-NH-
- (t) NC-,

and the bonds emanating from (n)-(t) join to a C atom of the adjacent group and this C atom is saturated when the bond emanates  
 10 from a hetero atom;

Z<sup>1</sup> is

- (a) a bond, is absent or is one of the groups
- (b) lower-alkylene-
- 15 (c) lower-alkenylene-
- (d) -O-, -N(R<sup>11</sup>)-, -S(O)<sub>0-2</sub>-
- (e) -CO-
- (f) -O-CO-
- (g) -O-CO-O-
- 20 (h) -O-CO-N(R<sup>11</sup>)-,
- (i) -N(R<sup>11</sup>)-CO-O-
- (j) -CO-N(R<sup>11</sup>)-
- (k) -N(R<sup>11</sup>)-CO-
- (l) -N(R<sup>11</sup>)-CO-N(R<sup>11</sup>)-
- 25 (m) -CH(OR<sup>9</sup>)-,

and the bonds emanating from (d) and (f)-(m) join to a C atom of the adjacent group and this C atom is saturated when the bond emanates from a hetero atom;

30 X<sup>1</sup> is

- (a) a bond, is absent or is one of the groups
- (b) -O-
- (c) -N(R<sup>11</sup>)-,
- (d) -S(O)<sub>0-2</sub>-

(e)  $-(CH_2)_{1-3}-$

or  $R^3$  and  $R^4$  together are a bond;

5  $R^5$  and  $R^6$  are hydrogen, lower-alkyl, lower-alkenyl, aryl-lower-alkyl or acyl or together with the N atom to which they are attached are a 5- or 6-membered heterocyclic ring which can contain an additional N atom or an O or S atom or a SO or SO<sub>2</sub> group and the additional N atom can be optionally substituted by lower-alkyl;

10

$R^7$  and  $R^8$  together with the C atom to which they are attached are a 3-7 membered ring which can contain one or two O or S atoms or SO or SO<sub>2</sub> groups;

15  $R^9$  is hydrogen, lower-alkyl, acyl or arylalkyl;

$R^{10}$  is carboxyalkyl, alkoxycarbonylalkyl, alkyl or hydrogen;

$R^{11}$  is hydrogen or lower-alkyl;

20 U is hydrogen, lower-alkyl, cycloalkyl, cyano, optionally substituted cycloalkyl, aryl or heterocyclyl;

Q is ethylene or is absent;

25 X is a bond, oxygen, sulphur or a group  $-CH-R^{11}-$ ,  $-CHOR^9-$ ,  $-O-CO-$ ,  $-CO-$  or  $C=NOR^{10}-$  with the bond emanating from an oxygen or sulphur atom joining to a saturated C atom of group Z or to  $R^1$ ;

W is oxygen or sulphur;

30

Z is lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene,  $-O-$ ,  $-S-$ ,  $-O-Alk-$ ,  $-S-Alk-$ ,  $-Alk-O-$  or  $-Alk-S-$ , in which Alk is lower alkylene; provided that

a) X is -CH-R<sup>11</sup>- and either R<sup>2</sup> contains a substituent L<sup>1</sup>-T<sup>1</sup>-L<sup>2</sup>-T<sup>2</sup>-L<sup>3</sup>-T<sup>3</sup>-L<sup>4</sup>-T<sup>4</sup>-L<sup>5</sup>-U or R<sup>4</sup> is a substituent defined above other than hydrogen when Z is -O- or -S-;

5 b) X is -CH-R<sup>11</sup>- when Z is -O-Alk- or -S-Alk-; and

c) Z is lower-alkenylene, -Alk-O- or -Alk-S- when X is a bond;

n is 1 or, when X is -O-CO-, 0 or 1; and

10

m is 0 or 1;

and pharmaceutically usable salts thereof;

with the proviso that said compound is not 4-(4-fluorophenyl)-3-

15 (3,4-methylenedioxybenzyloxy)piperidine or its hydrochloride.

2. The compound of claim 1 wherein:

20 R<sup>2</sup> is unsubstituted phenyl, cyclohexyl, naphthyl or acenaphthyl, or is phenyl or cyclohexyl substituted by halogen, hydroxy, cyano, trifluoromethyl, lower-alkyl, halo-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, cyano-lower-alkyl, carboxy-lower-alkyl, lower-alkanoyloxy-lower-alkyl, lower-  
25 alkoxy-carbonyl, lower-alkoxy-lower-alkyl, lower-alkoxy-carbonyl, lower-alkoxy, lower-alkylenedioxy or by said group L<sup>1</sup>-T<sup>1</sup>-L<sup>2</sup>-T<sup>2</sup>-L<sup>3</sup>-T<sup>3</sup>-L<sup>4</sup>-T<sup>4</sup>-L<sup>5</sup>-U wherein:

T<sup>1</sup>, T<sup>2</sup>, T<sup>3</sup> and T<sup>4</sup> independently of one another are:

30 (a) a bond or are absent or are:

(b) -CH(OH)-

(c) -CH(OR<sup>6</sup>)-

(d) -CH(NR<sup>5</sup>R<sup>6</sup>)-

(e) -CO-

- (f)  $-CR^7R^8-$
- (g)  $-O-$  or  $-NR^6-$ ,
- (h)  $-S(O)_{0-2}-$
- (i)  $-SO_2NR^6-$
- 5 (j)  $-NR^6SO_2-$
- (k)  $-CONR^6-$
- (l)  $-NR^6CO-$
- (m)  $-O-CO-$
- (n)  $-CO-O-$
- 10 (o)  $-O-CO-O-$
- (p)  $-O-CO-NR^6-$ ,

with the bonds emanating from (b), (d), (e) and (g)-(p) joining to a carbon atom of the adjacent group and said carbon atom being saturated when the bond emanates from a hetero atom, and not more than two groups (b)-(f), three groups (g)-(h) and one group (i)-(p) being present;

$R^3$  is hydrogen, hydroxy, lower-alkoxy or lower-alkenyloxy;

20  $R^4$  is hydrogen, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl or benzyl;

$R^5$  and  $R^6$  are hydrogen, lower-alkyl or acyl or together with the nitrogen atom to which they are attached are a 5- or 6-membered heterocyclic ring which can contain a second nitrogen atom or an oxygen or sulfur atom;

$R^7$  and  $R^8$  together with the carbon atom to which they are attached are a 3-7 membered ring which can contain one or two oxygen or sulphur atoms;

30 U is hydrogen, lower-alkyl, cycloalkyl, cyano, aryl or heterocyclyl;

X is oxygen, sulphur or a group -CH<sub>2</sub>-, -CHOR<sup>9</sup>- or -OCO- and R<sup>9</sup> is hydrogen, lower-alkyl, acyl or arylalkyl;

W is absent, or W is oxygen or sulphur or is absent when R<sup>3</sup> is hydrogen; and

Z is lower-alkylene or is absent.

3. The compound of claim 2 wherein:

10

R<sup>1</sup> is phenyl unsubstituted or substituted by lower-alkyl, lower-alkenyl, lower-alkoxy, lower-alkylthio, halogen, hydroxy, hydroxy-lower-alkoxy, lower-alkoxy-lower-alkoxy, lower-alkylsulphinyl, lower-alkylsulphonyl, cyano, trifluoromethyl, trifluoromethoxy, carboxy, cyclobutylmethoxy-lower-alkyl, lower-alkylenedioxy, phenyl, phenoxy, lower-alkoxycarbonylphenyl, hydroxy-lower-alkylphenyl, 2,3-dihydroxypropylaminocarbonylphenyl, benzyloxy, benzoyl, pyridyl-lower-alkoxy-lower-alkyl or nicotinoylamino-lower-alkyl; or

20

R<sup>1</sup> is naphthyl, naphthyl substituted by hydroxy, oxo, lower-alkoxy, lower-alkenyloxy, lower-alkoxy-lower-alkoxy, di-lower-alkylamino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-lower-alkoxy, 2,3-dimethoxypropoxy, lower-alkoxycarbonyl-lower-alkoxy, carbamoyl-lower-alkoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-lower-alkoxy, cyclopropyl-lower-alkoxy, hydroxy-lower-alkoxy, carbamoyloxy-lower-alkoxy, pyridyl-carbamoyloxy-lower-alkoxy, morpholino-lower-alkoxy, 3-morpholino-2-hydroxypropoxy, N-methylpiperazino-N-lower-alkoxy, benzoyloxy-lower-alkoxy or picolyloxy; or

30

R<sup>1</sup> is tetrahydronaphthyl or methyl-substituted tetrahydronaphthyl, or indanyl; or

R<sup>1</sup> is pyridyl, benzimidazolyl, di-lower-alkoxypyrimidinyl, 2- or 5-benzo[b]thienyl, 6- or 7-quinolyl, 6- or 7-isoquinolyl, 6- or 7-tetrahydroquinolyl, 6- or 7-tetrahydroisoquinolyl, 6-quinoxalyl, 6- or 7-quinazolyl, or R<sup>1</sup> is 6- or 7-quinolyl, 6- or 7-isoquinolyl, 6- or 7-tetrahydroquinolyl, 6- or 7-tetrahydroisoquinolyl, 6-quinoxalyl, or 6- or 7-quinazolyl substituted by hydroxy, oxo, lower-alkoxy, lower-alkenyloxy, lower-alkoxy-lower-alkoxy, di-lower-alkylamino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-lower-alkoxy, 2,3-dimethoxypropoxy, lower-alkoxycarbonyl-lower-alkoxy, carbamoyl-lower-alkoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-lower-alkoxy, cyclopropyl-lower-alkoxy, hydroxy-lower-alkoxy, carbamoyloxy-lower-alkoxy, pyridyl-carbamoyloxy-lower-alkoxy, morpholino-lower-alkoxy, 3-morpholino-2-hydroxypropoxy, N-methylpiperazino-N-lower-alkoxy, benzoyloxy-lower-alkoxy or picolyloxy;

R<sup>2</sup> is unsubstituted phenyl or phenyl substituted by halogen, hydroxy, cyano, trifluoromethyl, lower-alkyl, halo-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, cyano-lower-alkyl, carboxy-lower-alkyl, lower-alkanoyloxy-lower-alkyl, lower-alkoxycarbonyloxy-lower-alkyl, lower-alkoxycarbonyl, lower-alkoxy or lower-alkylenedioxy; or

R<sup>2</sup> is phenyl substituted by a group:

L<sup>1</sup>-T<sup>1</sup>-L<sup>2</sup>-T<sup>2</sup>-L<sup>3</sup>-T<sup>3</sup>-L<sup>4</sup>-T<sup>4</sup>-L<sup>5</sup>-U in which L<sup>1</sup> and L<sup>2</sup> are absent or are C<sub>1-8</sub>-alkylene and L<sup>3</sup> is absent; and

U is hydrogen, lower-alkyl, cyclo-lower-alkyl, naphthyl, pyridyl, thienyl, pyrazinyl, triazolyl, imidazolyl, phenyl-oxadiazolyl, thienyl-oxadiazolyl, furyl-oxadiazolyl, phenyl-oxazolyl, benzthiazolyl, furyl, pyrimidinyl, nitrobenzthiazolyl, phenyltetrazolyl, morpholinyl, phenyl unsubstituted or substituted by lower-alkyl, lower-alkoxy, lower-alkylthio, lower-alkylsulphinyl, lower-alkylenedioxy,



halogen, benzoyl-lower-alkyl, halo-lower-alkyl, lower-alkanoyloxy or hydroxy; or

R<sup>2</sup> is cyclohexyl or benzoyloxycyclohexyl; or

5

R<sup>2</sup> is naphthyl, tetrahydronaphthyl or acenaphthyl

R<sup>2</sup> is pyridyl or oxopyridyl or pyridyl or oxopyridyl substituted by  
3H-2-thioxo-benzthiazolyl, lower-alkoxyphenyl-lower-alkoxy-  
10 lower-alkoxy, phenyl-lower-alkoxy-lower-alkoxy, phenyl-lower-alkyl, phenoxy-lower-alkyl or phenyl-lower-alkoxy-lower-alkyl; or

R<sup>2</sup> is pyrimidinyl or pyrimidinyl substituted by benzodioxanyl-  
lower-alkoxy, biphenyloxy, cyclohexyl-lower-alkoxy,  
15 cyclohexyloxy-lower-alkoxy, halophenyl-lower-alkoxy, halophenyl-oxadiazolyl-lower-alkoxy, indanyl-lower-alkoxy, naphthyl-lower-alkoxy, N-lower-alkyl-phenyl-lower-alkoxy-lower-alkylamino, lower-alkylthio, lower-alkoxy, lower-alkoxyphenyl-lower-alkoxy-lower-alkoxy, lower-alkoxyphenyl-lower-alkylamino, lower-alkyl-  
20 pyridyl-lower-alkoxy, phenyl-lower-alkoxy-lower-alkoxy, phenyl-lower-alkoxy-lower-alkylthio, phenyl-lower-alkoxy-lower-alkylamino, phenyl-lower-alkenoxy, phenoxy-phenyl-lower-alkoxy, phenoxy-phenoxy, phenyl-lower-alkynyloxy, phenyl-lower-alkoxy-lower-alkoxy, phenylthio-lower-alkoxy, phenyl-oxazolyl-lower-  
25 alkoxy, phenyl-lower-alkynyloxy, phenyl-lower-alkenyloxy, phenyl-lower-alkylamino or phenyl-pyridyl-lower-alkylamino; or

R<sup>2</sup> is halobenzoyl-lower-alkyl-triazolyl, phenyl-lower-alkoxy-lower-alkyl-triazolyl or phenyl-lower-alkoxy-lower-alkoxy-triazolyl;  
30

R<sup>4</sup> is

2-oxo-lower-imidazolidin-1-yl-lower-alkyl,  
4-hydroxy-piperazin-1-yl-lower-alkoxy,

4-hydroxy-piperazin-1-yl-lower-alkoxy-lower-alkyl,  
 4-methyl-piperazin-1-yl-lower-alkoxy,  
 4-methyl-piperazin-1-yl-lower-alkoxy-lower-alkyl,  
 4-methyl-piperazin-1-yl-lower-alkyl-carbamoyloxy-lower-alkyl,  
 5 1,2,4-triazolyl-lower-alkyl,  
 amino,  
 amino-lower-alkyl,  
 amino-lower-alkyl-amino  
 amino-lower-alkyl-amino-lower-alkyl,  
 10 amino-lower-alkyl-oxy  
 amino-lower-alkyl-oxy-lower-alkyl,  
 aminocarbonyloxy-lower-alkyl,  
 benzyloxy or benzyloxy substituted by lower-alkyl, lower-alkenyl,  
 lower-alkoxy, trifluoromethoxy, lower-alkylthio, hydroxy or  
 15 halogen,  
 benzyloxy-lower-alkyl or benzyloxy-lower-alkyl substituted by  
 lower-alkyl, lower-alkenyl, lower-alkoxy or halogen,  
 carbamoyloxy-lower-alkyl,  
 cyano-lower-alkyl,  
 20 di-lower-alkyl-amino,  
 di-lower-alkyl-amino-lower-alkyl,  
 di-lower-alkyl-amino-lower-alkyl-(N-lower-alkyl)-amino-lower-  
 alkyl,  
 di-lower-alkyl-amino-lower-alkyl-amino  
 25 di-lower-alkyl-amino-lower-alkyl-amino-lower-alkyl,  
 di-lower-alkyl-amino-lower-alkyl-oxy  
 di-lower-alkyl-amino-lower-alkyl-oxy-lower-alkyl,  
 dihydroxy-lower-alkoxy,  
 dihydroxy-lower-alkoxy-lower-alkyl  
 30 dihydroxy-lower-alkyl-amino,  
 dihydroxy-lower-alkyl-amino-lower-alkyl  
 guanidinyllower-alkoxy-lower-alkyl,  
 guanidinyllower-alkyl,  
 hydroxy,  
 35 hydroxy-lower-alkyl,

sulphooxy-lower-alkyl,  
hydroxy-lower-alkyl-oxy,  
hydroxy-lower-alkyl-oxy-lower-alkyl,  
morpholin-4-yl-lower-alkoxy,  
5 morpholin-4-yl-lower-alkoxy-lower-alkyl,  
morpholin-4-yl-lower-alkyl-carbamoyloxy-lower-alkyl,  
naphthyl-alkoxy or naphthyl-alkoxy substituted by lower-alkoxy,  
lower-alkoxy,  
lower-alkoxy-lower-alkoxy  
10 lower-alkoxy-lower-alkoxy-lower-alkyl,  
lower-alkoxy-lower-alkyl,  
lower-alkyl,  
lower-alkylsulphonylamino-lower-alkyl,  
phenoxy-lower-alkyl or phenoxy-lower-alkyl substituted by lower-  
15 alkyl,  
lower-alkoxy,  
phenyl-thio-lower-alkyl or phenyl-thio-lower-alkyl substituted by  
lower-alkyl, lower-alkoxy,  
piperazin-4-yl-lower-alkoxy,  
20 piperazin-4-yl-lower-alkoxy-lower-alkyl,  
piperidin-1-yl-lower-alkyl-carbamoyloxy-lower-alkyl,  
piperidin-4-yl-lower-alkoxy,  
piperidin-4-yl-lower-alkoxy-lower-alkyl,  
pyridyl-lower-alkyl-oxy,  
25 pyridyl-lower-alkyl-oxy-alkyl,  
pyridylthio-lower-alkyl,  
pyrimidinyloxy-lower-alkyl or pyrimidinyloxy-lower-alkyl  
substituted by lower-alkoxy,  
tetrazolyl-lower-alkyl,  
30 trifluoromethylsulphonylamino-lower-alkyl or  
hydrogen.

4. The compound of claim 3 wherein R<sup>2</sup> is phenyl or phenyl  
substituted by:

35

2-benzothiazolyl-thio-lower-alkyl,  
 2-benzyloxy-3-methoxypropoxy,  
 2-benzoyloxy-3-methoxypropoxy,  
 2,3-dihydroxypropoxy,  
 5 2-hydroxy-3-benzylamino-propoxy,  
 2-hydroxy-3-phenoxypropoxy,  
 2-hydroxy-3-phenylthiopropoxy,  
 2-methoxy-3-phenoxypropoxy,  
 2-methoxy-3-benzyloxypropoxy,  
 10 2-methyl-3-fluoro-phenylbutyryloxy-lower-alkoxy,  
 2-lower-alkenyloxy-4-phenylbutyl,  
 3,4,5-trimethoxyphenyl-oxadiazolyl-lower-alkoxy,  
 6-nitro-2-benzothiazolyl-thio-lower-alkyl,  
 benzamido-lower-alkoxy,  
 15 benzamido-lower-alkyl,  
 benzoyl-lower-alkoxy and ketals thereof,  
 benzoyl-lower-alkyl and ketals thereof,  
 benzoyl-lower-alkyl-aminocarbonyl-lower-alkyl,  
 benzoyl-lower-alkoxycarbonyl-lower-alkyl,  
 20 benzoyl-lower-alkylaminocarbonyl,  
 benzoyloxy,  
 benzoyloxy-lower-alkyl-benzoyloxy-lower-alkoxy,  
 benzoyloxy-lower-alkoxy,  
 benzoyloxy-lower-alkyl,  
 25 benzthiazolylthio-lower-alkoxy,  
 benzthiazolylthio-lower-alkyl,  
 benzylcarbamoyl-lower-alkoxy,  
 benzyloxy-lower alkylcarbonyloxy-lower-alkyl,  
 benzyloxy-lower-alkoxy,  
 30 benzylthio-lower-alkoxy,  
 carbamoyloxy-lower-alkoxy,  
 carbamoyloxy-lower-alkyl,  
 carboxy-lower-alkoxy,  
 carboxy-lower-alkyl,  
 35 cyano,

- cyano-lower-alkoxy,
- cyano-lower-alkyl,
- cyanophenyl-lower-alkoxy,
- cyclohexylcarbonyloxy-lower-alkyl,
- 5 cyclopropylcarbonyloxy-lower-alkyl,
- cyclopropyloxy-benzyloxy-lower-alkoxy,
- dioxolanyl-lower-alkoxy,
- furyl-oxadiazolyl-lower-alkoxy,
- furoyloxy-lower-alkoxy,
- 10 halo-phenoxy-lower-alkyl,
- halobenzoyl-lower-alkoxy,
- halobenzoyloxy-lower-alkyl,
- halobenzoyloxy-lower-alkoxy,
- halobenzyloxy-lower-alkoxy,
- 15 halogen,
- halogen-lower-alkyl,
- halophenoxy,
- halophenyl-oxadiazolyl-lower-alkoxy,
- hydroxy,
- 20 hydroxy-benzoyloxy-lower-alkyl,
- hydroxy-benzyloxy-lower-alkoxy,
- hydroxy-lower-alkoxy,
- hydroxy-lower-alkyl,
- imidazolylcarbonyloxy-lower-alkyl,
- 25 methoxybenzoyl-lower-alkyl,
- methoxybenzyloxy-lower-alkoxy,
- methylenedioxybenzoyl-lower-alkoxy,
- morpholino-lower-alkoxy,
- morpholinocarbonyloxy-lower-alkoxy,
- 30 morpholinocarbonyloxy-lower-alkyl,
- N-methylaminophenyl-carbonyloxy-lower-alkyl,
- N-methyl-benzylamino-lower-alkoxy,
- N-methylpyrrolylcarbonyloxy-lower-alkoxy,
- N-lower-alkylbenzamido-lower-alkyl,
- 35 naphthyl-lower-alkoxy,

- nicotinoyloxy-lower-alkoxy,
- nicotinoyloxy-lower-alkyl,
- lower-alkanoylbenzoyloxy-lower-alkyl,
- lower-alkanoyloxy-lower-alkoxy
- 5 lower-alkanoyloxy-lower-alkyl,
- lower-alkenyl-benzyloxy-lower-alkoxy,
- lower-alkenyloxy,
- lower-alkenyloxy-benzyloxy-lower-alkoxy,
- lower-alkoxy,
- 10 lower-alkoxy-benzoyloxy-lower-alkyl,
- lower-alkoxy-carbonyl,
- lower-alkoxy-lower-alkyl,
- lower-alkoxybenzoylamino-lower-alkyl,
- lower-alkoxybenzylcarbonyloxy-lower-alkyl,
- 15 lower-alkoxy-benzyloxy-lower-alkoxy,
- lower-alkoxy-benzylthio-lower-alkoxy,
- lower-alkoxycarbonyl,
- lower-alkoxycarbonyl-lower-alkoxy,
- lower-alkoxycarbonyl-lower-alkyl,
- 20 lower-alkoxyphenyl-oxadiazolyl-lower-alkoxy,
- lower-alkyl,
- lower-alkylbenzyloxy-lower-alkoxy,
- lower-alkylenedioxy,
- lower-alkylenedioxybenzyloxy-lower-alkoxy,
- 25 lower-alkylsulphorylbenzoyl-lower-alkoxy,
- lower-alkylthiobenzoyloxy-lower-alkoxy,
- lower-alkylthio-benzyloxy-lower-alkoxy,
- benzoyloxybenzyl-lower-alkoxy,
- hydroxybenzyl-lower-alkoxy,
- 30 lower-alkoxybenzyl-lower-alkoxy,
- lower-alkoxybenzylcarbonyloxy- $\alpha''$ -oxy,
- phenoxy-benzyloxy-lower-alkoxy,
- phenoxycarbonyl-lower-alkyl,
- phenoxy-lower-alkenyloxy,
- 35 phenoxy-lower-alkynyloxy,

- phenyl-lower-alkanoylamino-lower-alkyl,  
phenyl-lower-alkenyloxy,  
phenyl-lower-alkoxy,  
phenoxy-lower-alkyl,  
5 phenyl-lower-alkylaminocarbonyl,  
phenoxy-lower-alkylcarbonyl-lower-alkoxy,  
phenyl-lower-alkylaminocarbonyl-lower-alkyl,  
phenylaminocarbonyloxy-lower-alkoxy,  
phenylaminocarbonyloxy-lower-alkyl,  
10 phenyl-hydroxy-lower-alkyl,  
phenyl-oxadiazolyl-lower-alkoxy  
phenyl-oxadiazolyl-lower-alkoxy,  
phenyl-oxadiazolyl-lower-alkyl,  
phenyl-oxazolyl-lower-alkoxy,  
15 phenyloxy-lower-alkoxy,  
phenylsulphamoyl-lower-alkyl,  
phenylsulphinyl-lower-alkyl,  
phenylsulphonyl-lower-alkoxy,  
phenylsulphonyl-lower-alkyl,  
20 phenyltetrazolyl-thio-lower-alkyl,  
phenylthio-lower-alkoxy,  
phenylthio-lower-alkyl,  
pyrazinylcarbonyloxy-lower-alkyl,  
pyridylaminocarbonyloxy-lower-alkoxy  
25 pyridylaminocarbonyloxy-lower-alkyl,  
pyridylcarbamoyloxy,  
pyridyl-lower-alkoxy-lower-alkoxy,  
pyridyl-lower-alkoxy-lower-alkyl,  
pyridyl-oxadiazolyl-lower-alkoxy,  
30 pyridylthio-lower-alkyl,  
pyrimidinyloxy-lower-alkoxy,  
pyrimidinylthio-lower-alkyl,  
thenoyloxy-lower-alkoxy,  
thenoyloxy-lower-alkyl,  
35 thienyl-oxadiazolyl-lower-alkoxy,

triazolyl-lower-alkoxy,  
trifluoromethylbenzyloxy-lower-alkoxy or  
trifluoromethyl.

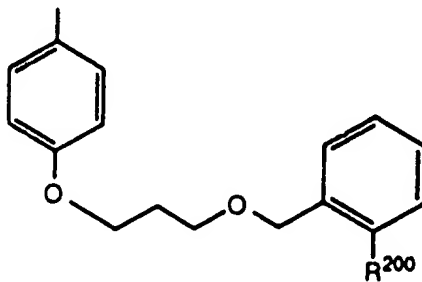
5            5.    The compound of claim 1 wherein  $R^3$  is hydrogen,  $m$  is 0  
and  $R^2$  is phenyl, pyridyl, pyrimidinyl, pyrazinyl or triazolyl which  
are unsubstituted or para-substituted,  $Q$  is absent,  $X$  is oxygen,  $-CO-$   
or  $-CHOR^9-$  wherein  $R^9$  is acetyl,  $n$  is 1 and  $Z$  is lower alkylene.

10           6.    The compound of claim 5 wherein  $X$  is oxygen,  $Z$  is  
methylene, and  $R^1$  is naphthyl which is unsubstituted or substituted.

             7.    The compound of claim 6 wherein  $R^1$  is naphthyl which is  
unsubstituted or substituted by lower alkoxy, hydroxy, benzyloxy  
15 wherein said benzene ring is substituted by methoxy or is  
unsubstituted, morpholino-lower-alkoxy, piperazino-lower alkoxy  
wherein the second nitrogen atom is substituted by methyl or is  
unsubstituted, dihydroxypropoxy, ethoxy dihydroxypropoxy,  
dihydroxypropoxy-lower alkyl, hydroxypropoxy-lower alkyl,  
20 hydroxyethoxy-lower alkyl, or lower alkyl di-lower alkyl amino.

             8.    The compound of claim 7 wherein  $R^2$  is para-substituted  
phenyl.

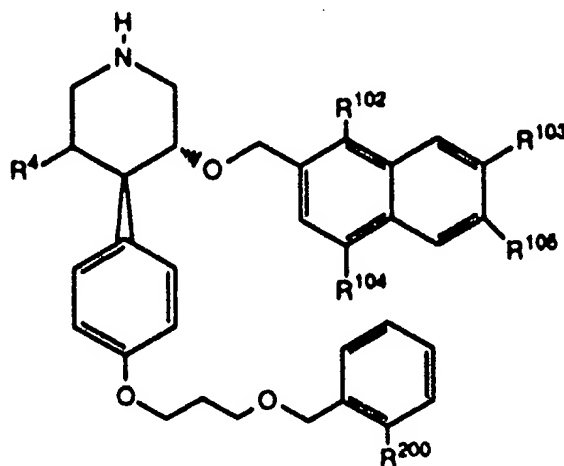
25           9.    The compound of claim 8 wherein  $R^2$  is a group of the  
formula:





wherein  $R^{200}$  is hydrogen or lower alkoxy.

10. The compound of claim 9 having the formula:



10 wherein  $R^4$  is as in claim 1;  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are independently hydrogen, hydroxy, lower alkoxy, hydroxy lower alkoxy, methyl N,N-dimethyl amine, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-lower alkyl, 2,3-dihydroxypropoxy-lower alkoxy, N-methyl piperazino-N-lower alkoxy, morpholino-lower-alkoxy, benzyloxy, methoxy-benzyloxy; and  $R^{200}$  is as in claim 9.

15 11. The compound of claim 10 wherein  $R^4$  is hydrogen.

12. The compound of claim 11 wherein two of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

20 13. The compound of claim 12 wherein  $R^{103}$  and  $R^{105}$  are hydrogen.

14. The compound of claim 13 wherein  $R^{102}$  and  $R^{104}$  are methoxy.

25

15. The compound of claim 14 wherein said compound is (3R,4R)-3-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-phenyl]-piperidine.

5 16. The compound of claim 12 wherein three of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

17. The compound of claim 16 wherein  $R^{102}$ ,  $R^{103}$  and  $R^{104}$  are hydrogen.

10

18. The compound of claim 17 wherein said compound is (3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-[6-[(R)-2,3-dihydroxy-propoxymethyl]-naphthalen-2-ylmethoxy]-piperidine.

15

19. The compound of claim 17 wherein said compound is (3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-[6-[(S)-2,3-dihydroxy-propoxymethyl]-naphthalen-2-ylmethoxy]-piperidine.

20

20. The compound of claim 16 wherein  $R^{102}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

25

21. The compound of claim 20 wherein said compound is 4-[2-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-ethyl]-morpholine.

22. The compound of claim 20 wherein said compound is (R)-3-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-propane-1,2-diol.

30

23. The compound of claim 20 wherein said compound is (S)-3-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-propane-1,2-diol.

24. The compound of claim 20 wherein said compound is 1-[2-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-ethyl]-4-methyl-piperazine.

25. The compound of claim 20 wherein said compound is 1-[2-[7-[(3R,4R)-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-piperidin-3-yloxymethyl]-naphthalin-2-yloxy]-ethyl]-4-methyl-piperazine.

26. The compound of claim 20 wherein said compound is (R)-3-[2-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-ethoxy]-propane-1,2-diol.

27. The compound of claim 20 wherein said compound is (S)-3-[2-[7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-yloxy]-ethoxy]-propane-1,2-diol.

28. The compound of claim 20 wherein said compound is (3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-[7-[(R)-2,3-dihydroxy-propoxymethyl]-naphthalen-2-ylmethoxy]-piperidine.

29. The compound of claim 20 wherein said compound is (3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-[7-[(S)-2,3-dihydroxy-propoxymethyl]-naphthalen-2-ylmethoxy]-piperidine.

30. The compound of claim 20 wherein said compound is 2-(7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-ylmethoxy)-ethanol.

31. The compound of claim 20 wherein said compound is 7-[(3R,4R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yloxymethyl]-naphthalen-2-ylmethyl)-dimethyl-amine.

32. The compound of claim 16 wherein  $R^{102}$ ,  $R^{103}$  and  $R^{105}$  are hydrogen.

33. The compound of claim 16 wherein all of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

34. The compound of claim 33 wherein said compound is  
5 (3RS,4RS)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-ylmethoxy)-piperidine.

35. The compound of claim 10 wherein  $R^4$  is hydroxy, lower  
alkyl hydroxy, lower alkyl-lower alkoxy, 2-oxo-lower-imidazolidin-  
10 1-yl-lower-alkyl, amino-lower-alkyl-amino-lower-alkyl, 4-methyl-  
piperazin-1-yl-lower-alkoxy, 4-methyl-piperazin-1-yl-lower-  
alkyl-carbamoyloxy-lower-alkyl, hydroxy-lower-alkyl-oxy,  
morpholin-4-yl-lower-alkoxy, di-lower-alkyl-amino-lower-alkyl,  
amino-lower-alkyl, di-lower-alkyl-amino-lower-alkyl, pyridylthio-  
15 lower-alkyl, 1,2,4-triazolyl-lower-alkyl and tetrazolyl-lower-  
alkyl.

36. The compound of claim 35 wherein two of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$   
and  $R^{105}$  are hydrogen.

20

37. The compound of claim 36 wherein  $R^{103}$  and  $R^{105}$  are  
hydrogen.

38. The compound of claim 37 wherein  $R^{102}$  and  $R^{104}$  are lower  
25 alkoxy.

39. The compound of claim 38 wherein  $R^4$  is hydroxy.

40. The compound of claim 39 wherein said compound is  
30 (3R,4S,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(1,4-dimethoxy-  
naphthalen-2-ylmethoxy)-piperidin-5-ol.

41. ~~The compound of claim 39 wherein said compound is~~  
~~(3R,4S,5S)-3-(1,4-dimethoxy-naphthalin-2-ylmethoxy)-4-[4-[3-(2-~~  
35 ~~methoxy-benzyloxy)-propoxy]-phenyl]-piperidin-5-ol.~~

42. The compound of claim 36 wherein three of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

5 43. The compound of claim 42 wherein  $R^{102}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

44. The compound of claim 43 wherein  $R^{103}$  is N-methyl piperazino-N-lower alkoxy.

10

45. The compound of claim 44 wherein  $R^4$  is hydroxy.

46. The compound of claim 45 wherein said compound is 1-[2-[7-[(3R,4S,5S)-5-hydroxy-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-piperidin-3-yloxymethyl]-naphthalin-2-yloxy]-ethyl]-4-methyl-piperazine.

15

47. The compound of claim 42 wherein all of  $R^{102}$ ,  $R^{103}$ ,  $R^{104}$  and  $R^{105}$  are hydrogen.

20

48. The compound of claim 47 wherein said compound is 4-[(3R,4S,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-ylmethoxy)-piperidin-5-yloxy]-butan-1-ol.

25

49. The compound of claim 47 wherein said compound is 3-[(3R,4S,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-ylmethoxy)-piperidin-5-yloxy]-propan-1-ol.

50. The compound of claim 47 wherein said compound is 1-[2-[(3R,4R,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-ylmethoxy)-piperidin-5-yloxy]-ethyl]-4-methyl-piperazine.

30

51. The compound of claim 47 wherein said compound is 4-[2-(3R,4R,5S)-[4-[4(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-ylmethoxy)-piperidin-5-ylmethoxy]-ethyl]-morpholine.

35

52. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-4-[2-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-  
(naphthalen-2-ylmethoxy)-piperidin-3-ylmethoxy]-ethyl]-  
5 morpholine.

53. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-methoxymethyl-  
5-(naphthalen-2-ylmethoxy)-piperidine.

10 54. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-2-  
ylmethoxy)-piperidin-3-ylmethyl [3-(4-methyl-piperazin-1-yl)-  
propyl]-carbamate.

15 55. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-4-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-  
2-ylmethoxy)-piperidin-3-ylmethylsulphanyl]-pyridine.

20 56. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-2-  
ylmethoxy)-piperidin-3-yl]-methanol.

25 57. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-N-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-  
2-ylmethoxy)-piperidin-3-ylmethyl]-N,N',N'-trimethyl-ethane-1,2-  
diamine.

30 58. The compound of claim 47 wherein said compound is  
(3S,4R,5R)-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-2-  
ylmethoxy)-piperidin-3-ylmethyl]-diethyl-amine.

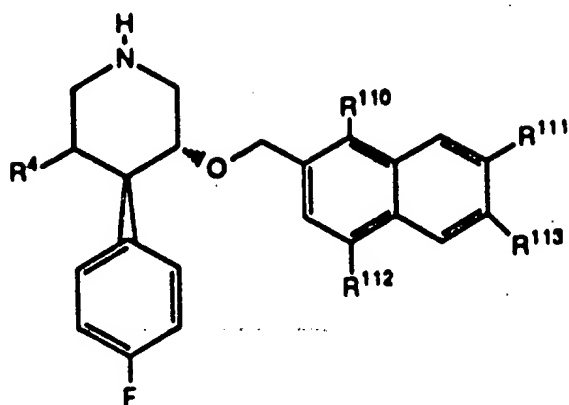
35 59. The compound of claim 47 wherein said compound is  
(3R,4R,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(naphthalen-2-  
ylmethoxy)-5-[1,2,4]triazol-1-ylmethyl-piperidine.

60. The compound of claim 47 wherein said compound is (3S,4R,5R)-1-[4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(naphthalen-2-ylmethoxy)-piperidin-3-ylmethyl]-imidazolidin-2-one.

5

61. The compound of claim 47 wherein said compound is (3R,4R,5S)-3-(1,4-dimethoxy-naphthalin-2-ylmethoxy)-4-{4-[3-(2-methoxy-benzyloxy)-propoxy]-phenyl}-5-(1H-tetrazol-5-ylmethyl)-piperidine.

62. The compound of claim 8 having the formula:



5 wherein R<sup>4</sup> is as in claim 1; R<sup>110</sup>, R<sup>111</sup>, R<sup>112</sup> and R<sup>113</sup> are independently hydrogen, lower alkoxy, hydroxy lower alkoxy, lower alkyl di-lower alkyl amino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-lower alkyl, 2,3-dihydroxypropoxy-lower alkoxy, N-methyl piperazino-N-lower alkoxy, morpholino-lower-alkoxy, benzyloxy, and methoxybenzyloxy.

10

63. The compound of claim 62 wherein R<sup>110</sup>, R<sup>111</sup> and R<sup>113</sup> are hydrogen and R<sup>112</sup> is benzyloxy.

15 64. The compound of claim 63 wherein R<sup>4</sup> is hydrogen or lower alkyl.

20 65. The compound of claim 64 wherein said compound is (3R,4R)-3-(4-benzyloxy-naphthalen-2-ylmethoxy)-4-(4-fluorophenyl)-piperidine.

25

66. The compound of claim 64 wherein said compound is (3S,4S)-3-(4-Benzyloxy-naphthalen-2-ylmethoxy)-4-(4-fluorophenyl)-piperidine.

30

67. The compound of claim 64 wherein said compound is (3RS,4RS,5SR)-3-(4-Benzyloxy-naphthalen-2-ylmethoxy)-4-(4-fluorophenyl)-5-propyl-piperidine.

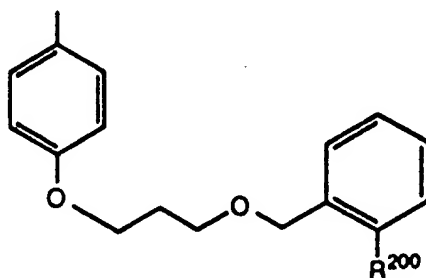


68. The compound of claim 5 wherein X is oxygen, Z is methyl, and R<sup>1</sup> is phenyl which is unsubstituted or substituted.

69. The compound of claim 68 wherein said phenyl is unsubstituted, or is 2,3-substituted by ethylene dioxy, or is substituted by one to three methoxy groups.

70. The compound of claim 69 wherein R<sup>2</sup> is para-substituted phenyl.

71. The compound of claim 70 wherein R<sup>2</sup> is a group of the formula:



wherein R<sup>200</sup> is hydrogen or lower alkoxy.

72. The compound of claim 71 wherein R<sup>4</sup> is hydrogen.

73. The compound of claim 72 wherein said compound is (3RS,4RS)-4-[4-(3-Benzyloxy-propoxy)-phenyl]-3-(2,3-dihydrobenzo[1,4]dioxin-6-ylmethoxy)-piperidine.

74. The compound of claim 71 wherein R<sup>4</sup> is phenyl which is unsubstituted or substituted with from one to three methoxy groups.

75. The compound of claim 74 wherein said compound is (3R,4s,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3,5-bis-(4-methoxy-benzyloxy)-piperidine.

5 76. The compound of claim 74 wherein said compound is (3R,4s,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3,5-bis-(3,4,5-trimethoxy-benzyloxy)-piperidine.

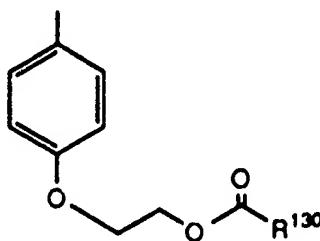
77. The compound of claim 71 wherein R<sup>4</sup> is hydroxyl.

10

78. The compound of claim 77 wherein said compound is (3R,4S,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-3-(4-methoxy-benzyloxy)-piperidin-5-ol.

15

79. The compound of claim 8 wherein R<sup>2</sup> is a group of the formula:



20

wherein R<sup>130</sup> is unsubstituted or substituted phenyl or unsubstituted or substituted thienyl.

25

80. The compound of claim 79 wherein R<sup>1</sup> is naphthyl which is unsubstituted or substituted by benzyloxy wherein said benzene ring is substituted by methoxy or is unsubstituted.

81. The compound of claim 80 wherein R<sup>130</sup> is phenyl which is substituted by chloro or is unsubstituted, or is unsubstituted thienyl.

82. The compound of claim 81 wherein R<sup>4</sup> is hydrogen, hydroxy, lower alkyl hydroxy, lower alkyl-lower alkoxy, 2-oxo-lower-imidazolidin-1-yl-lower-alkyl, amino-lower-alkyl-amino-lower-alkyl, 4-methyl-piperazin-1-yl-lower-alkoxy, 4-methyl-piperazin-1-yl-lower-alkyl-carbamoyloxy-lower-alkyl, hydroxy-lower-alkyl-oxy, morpholin-4-yl-lower-alkoxy, di-lower-alkyl-amino-lower-alkyl-amino-lower-alkyl, di-lower-alkyl-amino-lower-alkyl, or 1,2,4-triazolyl-lower-alkyl.

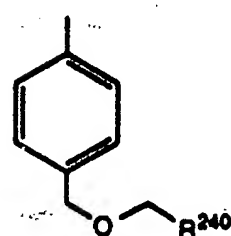
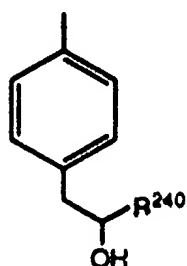
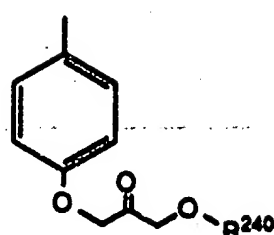
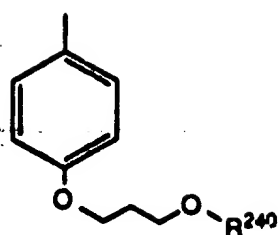
83. The compound of claim 82 wherein R<sup>4</sup> is hydrogen.

84. The compound of claim 83 wherein said compound is (3RS,4RS)-2-[4-(3-Naphthalen-2-ylmethoxy-piperidin-4-yl)-phenoxy]-ethyl 2-chloro-benzoate hydrochloride.

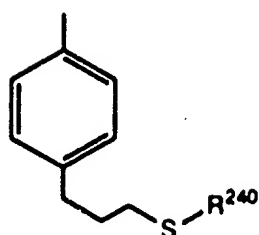
85. The compound of claim 83 wherein said compound is (3RS,4RS)-2-[4-[3-[4-(2-methoxy-benzyloxy)-naphthalen-2-ylmethoxy]-piperidin-4-yl]-phenoxy]-ethyl benzoate hydrochloride.

86. The compound of claim 83 wherein said compound is (3RS,4RS)-2-[4-(3-naphthalen-2-ylmethoxy-piperidin-4-yl)-phenoxy]-ethyl thiophene-2-carboxylate hydrochloride.

87. The compound of claim 8 wherein R<sup>2</sup> is a group of the formula:



or



wherein R<sup>240</sup> is phenyl which may be substituted or unsubstituted.

- 5        88. The compound of claim 87 wherein R<sup>1</sup> is naphthyl which is unsubstituted or substituted by methoxy.

89. The compound of claim 88 wherein R<sup>4</sup> is hydrogen, hydroxy, lower alkyl hydroxy, lower alkyl-lower alkoxy, 2-oxo-lower-imidazolidin-1-yl-lower-alkyl, amino-lower-alkyl-amino-lower-alkyl, 4-methyl-piperazin-1-yl-lower-alkoxy, 4-methyl-piperazin-1-yl-lower-alkyl-carbamoyloxy-lower-alkyl, hydroxy-lower-alkyl-oxy, morpholin-4-yl-lower-alkoxy, di-lower-alkyl-amino-lower-alkyl-amino-lower-alkyl, di-lower-alkyl-amino-lower-alkyl, or 1,2,4-triazolyl-lower-alkyl.
- 10
- 15

90. The compound of claim 89 wherein R<sup>1</sup> is hydrogen or lower alkyl-lower alkoxy and R<sup>240</sup> is unsubstituted phenyl or phenyl substituted with methoxy.

5 91. The compound of claim 90 wherein said compound is (3RS,4RS)-3-(Naphthalen-2-ylmethoxy)-4-[4-(3-phenylsulphanyl-propyl)-phenyl]-piperidine.

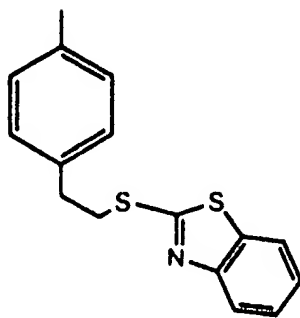
10 92. The compound of claim 90 wherein said compound is (3SR,4RS,5RS)-4-(4-Benzoyloxymethyl-phenyl)-3-methoxymethyl-5-(naphthalen-2-ylmethoxy)-piperidine.

15 93. The compound of claim 90 wherein said compound is (3RS,4RS)-3-(naphthalen-2-ylmethoxy)-4-[4-(3-phenyl-isoxazol-5-ylmethoxy)-phenyl]-piperidine trifluoroacetate.

20 94. The compound of claim 90 wherein said compound is (3RS,4RS)-3-(Naphthalen-2-ylmethoxy)-4-[4-(3-phenyl-[1,2,4]oxadiazol-5-ylmethoxy)-phenyl]-piperidine trifluoroacetate.

95. The compound of claim 90 wherein said compound is (3R,4R)-3-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-4-[4-[3-(2-methoxy-phenoxy)-propoxy]-phenyl]-piperidine.

25 96. The compound of claim 8 wherein R<sup>2</sup> is a group of the formula:



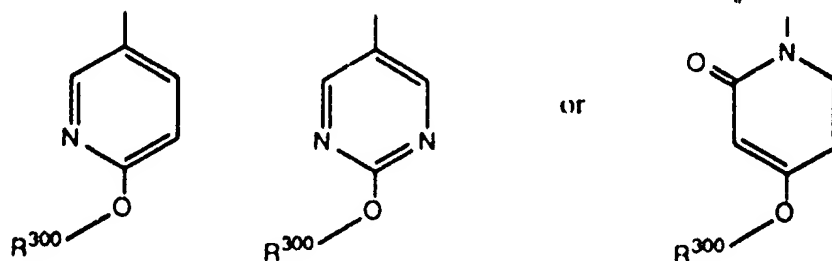
97. The compound of claim 96 wherein R<sup>1</sup> is naphthyl which is unsubstituted or substituted by hydroxy.

98. The compound of claim 97 wherein R<sup>4</sup> is hydrogen, hydroxy, lower alkyl hydroxy, lower alkyl-lower alkoxy, 2-oxo-lower-imidazolidin-1-yl-lower-alkyl, amino-lower-alkyl-amino-lower-alkyl, 4-methyl-piperazin-1-yl-lower-alkoxy, 4-methyl-piperazin-1-yl-lower-alkyl-carbamoyloxy-lower-alkyl, hydroxy-lower-alkyl-oxy, morpholin-4-yl-lower-alkoxy, di-lower-alkyl-amino-lower-alkyl-amino-lower-alkyl, di-lower-alkyl-amino-lower-alkyl, or 1,2,4-triazolyl-lower-alkyl.

99. The compound of claim 98 wherein R<sup>4</sup> is hydrogen.

100. The compound of claim 99 wherein said compound is (3RS,4RS)-3-[4-[4-[2-(benzothiazol-2-ylsulphanyl)-ethyl]-phenyl]-piperidin-3-yloxymethyl]-naphthalen-1-ol.

101. The compound of claim 7 wherein R<sup>2</sup> is a group of the formula:



wherein R<sup>300</sup> is lower alkoxy-benzyloxy in which the benzene is substituted by lower alkoxy or is unsubstituted, or lower alkyl-cycloalkyl.

102. The compound of claim 101 wherein R<sup>3</sup> and R<sup>4</sup> are hydrogen and R<sup>1</sup> is disubstituted by methoxy.

103. The compound of claim 102 wherein said compound is (3'R,4'R)-6-(3-cyclohexyl-propoxy)-3'-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-1',2',3',4',5',6'-hexahydro-[3,4']bipyridine.

104. The compound of claim 102 wherein said compound is (3'R,4'R)-3'-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-6-[3-(2-methoxybenzyloxy)-propoxy]-1',2',3',4',5',6'-hexahydro-[3,4']bipyridine.

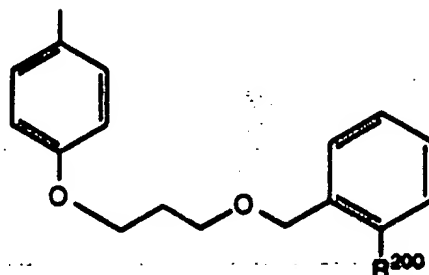
105. The compound of claim 102 wherein said compound is 2-(4-cyclohexyl-butoxy)-5-[(3R,4R)-3-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-piperidin-4-yl]-pyrimidine.

106. The compound of claim 102 wherein said compound is (3'S,4'S)-3'-(1,4-dimethoxy-naphthalen-2-ylmethoxy)-4-[S-(2-methoxy-benzyloxy)-propoxy]-1',2',3',4',5',6'-hexahydro-[1,4']bipyridin-2-one.

107. The compound of claim 5 wherein X is oxygen, Z is methylene, and R<sup>1</sup> is 6- or 7-quinolyl, 6- or 7-isoquinolyl, 6- or 7-tetrahydroquinolyl, 6- or 7-tetrahydroisoquinolyl, or R<sup>1</sup> is 6- or 7-tetrahydroquinolyl or 6- or 7-tetrahydroisoquinolyl substituted by oxo.

108. The compound of claim 107 wherein R<sup>2</sup> is para-substituted phenyl.

109. The compound of claim 108 wherein R<sup>2</sup> is a group of the formula:



wherein  $R^{200}$  is hydrogen or lower alkoxy.

5        110. The compound of claim 109 wherein  $R^3$  and  $R^4$  are hydrogen.

111. The compound of claim 110 wherein said compound is  
 (3R,4R)-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-phenyl]-3-  
 10 (quinolin-7-ylmethoxy)-piperidine.

112. The compound of claim 110 wherein said compound is  
 (3R,4R)-3-(isoquinolin-7-ylmethoxy)-4-[4-[3-(2-methoxy-  
 benzyloxy)-propoxy]-phenyl]-piperidine.

15        113. The compound of claim 110 wherein said compound is  
 (3R,4R)-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-phenyl]-3-  
 (1,2,3,4-tetrahydro-quinolin-7-ylmethoxy)-piperidine.

20        114. The compound of claim 110 wherein said compound is  
 (3R,4R)-4-[4-[3-(2-methoxy-benzyloxy)-propoxy]-phenyl]-3-(2-oxo-  
 1,2-dihydro-quinolin-7-ylmethoxy)-piperidine.

25        115. The compound of claim 5 wherein X is -CO- or -CHOR<sup>9</sup>-  
 wherein  $R^9$  is acetyl, Z is methylene, and  $R^1$  is naphthyl which is  
 unsubstituted or substituted.

116. The compound of claim 115 wherein  $R^1$  is naphthyl which  
 is unsubstituted or substituted by methoxy, hydroxy, benzyloxy

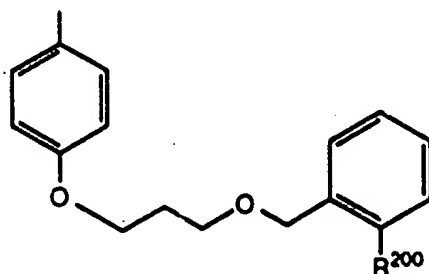


wherein said benzene ring is substituted by methoxy or is unsubstituted, ethoxy morpholino, ethoxy piperidinyI wherein the second nitrogen atom is substituted by methyl or is unsubstituted, dihydroxypropoxy, ethoxy dihydroxypropoxy, methyl dihydroxypropoxy,  
5 methyl hydroxypropoxy, methyl hydroxyethoxy, or methy N,N-dimethyl amine.

117. The compound of claim 116 wherein  $R^2$  is para-substituted phenyl.

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118. The compound of claim 117 wherein  $R^2$  is a group of the formula:



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wherein  $R^{200}$  is hydrogen or lower alkoxy.

119. The compound of claim 118 wherein X is -CO-.

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120. The compound of claim 119 wherein  $R^3$  and  $R^4$  are hydrogen.

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121. The compound of claim 120 wherein said compound is 1-[(3R,4S-4-[4-(3-benzyloxy-propoxy)-phenyl]-piperidin-3-yl]-2-naphthalen-2-yl-ethanone.

122. The compound of claim 119 wherein  $R^3$  is hydrogen and  $R^4$  is morpholin-4-yl-lower-alkoxy-lower-alkyl.

123. The compound of claim 122 wherein said compound is 1-[(3R,4S,5S)-4-[4-(3-benzyloxy-propoxy)-phenyl]-5-(2-morpholin-4-yl-ethoxymethyl)-piperidin-3-yl]-2-naphthalen-2-yl-ethanone.

5 124. The compound of claim 117 wherein R<sup>2</sup> is p-fluorophenyl.

125. The compound of claim 124 wherein X is -CHOR<sup>9</sup>- and R<sup>3</sup> and R<sup>4</sup> are hydrogen.

10 126. The compound of claim 125 wherein R<sup>9</sup> is benzoyl.

127. The compound of claim 126 wherein said compound is (SR)- or (RS)-1-[(3RS,4SR)-4-(4-fluoro-phenyl)-piperidin-3-yl]-2-naphthalen-2-yl-ethyl benzoate hydrochloride.

15 128. The compound of claim 1 wherein R<sup>3</sup> is hydrogen, m is 0 and R<sup>2</sup> is phenyl, pyridyl, pyrimidinyl, pyrazinyl or triazolyl which are unsubstituted or para-substituted, Q is ethylene, X is oxygen, -CO- or -CHOR<sup>9</sup>- wherein R<sup>9</sup> is acetyl, n is 1 and Z is lower alkylene.

20 129. The compound of claim 128 wherein X is oxygen, Z is methylene, and R<sup>1</sup> is naphthyl which is unsubstituted or substituted.

25 130. The compound of claim 129 wherein R<sup>1</sup> is naphthyl which is unsubstituted or substituted by methoxy, hydroxy, benzyloxy wherein said benzene ring is substituted by methoxy or is unsubstituted, ethoxy morpholino, ethoxy piperidinyl wherein the second nitrogen atom is substituted by methyl or is unsubstituted, dihydroxy propoxy, ethoxy dihydroxy propoxy, methyl dihydroxy  
30 propoxy, methyl hydroxy propoxy, methyl hydroxy ethoxy, or methy N,N-dimethyl amine.

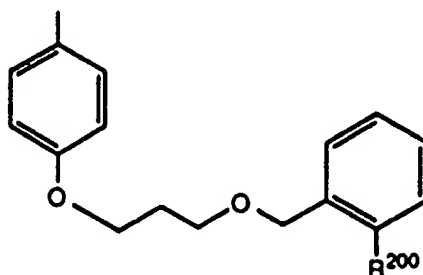
35 131. The compound of claim 130 wherein R<sup>2</sup> is para-substituted phenyl.

132. The compound of claim 131 wherein  $R^2$  is p-fluorophenyl.

133. The compound of claim 132 wherein  $R^3$  and  $R^4$  are hydrogen.

134. The compound of claim 133 wherein said compound is (1RS,2RS,3RS,5SR)-2-(4-Benzyloxy-naphthalen-2-ylmethoxy)-3-(4-fluoro-phenyl)-8-aza-bicyclo[3.2.1]octane.

135. The compound of claim 131 wherein  $R^2$  is a group of the formula:



136. wherein  $R^{200}$  is hydrogen or lower alkoxy.

136. The compound of claim 135 wherein  $R^3$  and  $R^4$  are hydrogen.

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